

What is claimed is:

1. A compound of the formula:



or a pharmaceutically acceptable salt thereof, wherein

- 5 R_1 is H, halogen, NO_2 , alkyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkenyl, alkynyl, arylalkynyl, -CN, aryl, alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, haloalkoxy, carboxyl, or arylalkanoyl, wherein the aryl portion of arylalkoxy, arylalkyl, and
- 10 arylalkanoyl is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, $\text{C}_1\text{-C}_4$ alkyl, $\text{C}_1\text{-C}_4$ alkoxy, nitro, CN, haloalkyl, haloalkoxy or CO_2R ;
- wherein the alkyl portion of the alkyl, hydroxyalkyl,
- 15 dihydroxyalkyl, arylalkoxy, arylalkyl, alkanoyl, alkoxy, alkoxyalkyl and arylalkanoyl groups is unsubstituted or substituted with 1, 2, or 3 groups that are independently halogen, $\text{C}_1\text{-C}_4$ alkoxy, $\text{C}_1\text{-C}_4$ alkoxycarbonyl, or $\text{C}_3\text{-C}_7$ cycloalkyl;
- 20 R_2 is H, OH, halogen, $-\text{OSO}_2\text{-(C}_1\text{-C}_6\text{) alkyl}$, $-\text{OSO}_2\text{-aryl}$, arylalkoxy, aryloxy, arylthio, arylthioalkoxy, arylalkynyl, alkoxy, aryloxy($\text{C}_1\text{-C}_6\text{)alkyl}$, alkyl, alkynyl, $-\text{OC(O)NH(CH}_2\text{)}_n\text{aryl}$, $-\text{OC(O)N(alkyl)(CH}_2\text{)}_n\text{aryl}$, alkoxyalkoxy, dialkylamino, alkyl, alkoxy, aryl, arylalkyl, heteroaryl,
- 25 heteroarylalkyl, arylalkenyl, heterocycloalkyl, heterocycloalkylalkyl, alkoxyalkoxy, NR_8R_9 , dialkylamino, or CO_2R , wherein
- n is 0, 1, 2, 3, 4, 5 or 6;
- each of which groups is unsubstituted or substituted with
- 30 1, 2, 3, 4, or 5 groups that are independently

halogen, $-(C_1-C_6)alkyl-N(R)-CO_2R_{30}$, haloalkyl,
 heteroaryl, heteroarylalkyl, $-NR_6R_7$, $R_6R_7N-(C_1-C_6$
 alkyl)-, $-C(O)NR_6R_7$, $-(C_1-C_4)alkyl-C(O)NR_6R_7$, $-(C_1-C_4$
 alkyl)-NRC(O)NR₁₆R₁₇, haloalkoxy, alkyl, CN,
 5 hydroxyalkyl, dihydroxyalkyl, alkoxy,
 alkoxycarbonyl, phenyl, $-SO_2$ -phenyl wherein the
 phenyl and $-SO_2$ -phenyl groups are optionally
 substituted with 1, 2, or 3 groups that are
 independently halogen or NO₂, or $-OC(O)NR_6R_7$, wherein
 10 R₁₆ and R₁₇ are independently H or C₁-C₆ alkyl; or
 R₁₆, R₁₇ and the nitrogen to which they are attached
 form a morpholinyl ring;
 R₆ and R₇ are independently at each occurrence H,
 alkyl, hydroxyalkyl, dihydroxyalkyl, alkoxy,
 15 alkanoyl, arylalkyl, arylalkoxy,
 alkoxycarbonyl, $-SO_2$ -alkyl, OH, alkoxy,
 alkoxyalkyl, arylalkoxycarbonyl, $-(C_1-C_4)alkyl-$
 CO_2 -alkyl, heteroarylalkyl, or arylalkanoyl,
 wherein each is unsubstituted or substituted
 20 with 1, 2, or 3 groups that are independently,
 halogen, OH, SH, heterocycloalkyl,
 heterocycloalkylalkyl, C₃-C₇ cycloalkyl, alkoxy,
 NH₂, NH(alkyl), N(alkyl)(alkyl), $-O$ -alkanoyl,
 alkyl, haloalkyl, carboxaldehyde, or
 25 haloalkoxy; or
 R₆, R₇, and the nitrogen to which they are attached
 form a morpholinyl, pyrrolidinyl,
 thiomorpholinyl, thiomorpholinyl S-oxide,
 thiomorpholinyl S,S-dioxide, piperidinyl,
 30 pyrrolidinyl, or piperazinyl ring which is
 optionally substituted with 1 or 2 groups that
 are independently C₁-C₄ alkyl, alkoxycarbonyl,

C₁-C₄ alkoxy, hydroxyl, hydroxyalkyl, dihydroxyalkyl, or halogen;

R at each occurrence is independently hydrogen or C₁-C₆ alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C₃-C₆ cycloalkyl;

R₃₀ is C₁-C₆ alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C₃-C₆ cycloalkyl;

each R₈ is independently hydrogen, alkyl, alkanoyl, arylalkyl and arylalkanoyl, wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, alkoxycarbonyl, halogen, or haloalkyl;

each R₉ is hydrogen, alkyl, alkanoyl, arylalkyl, cycloalkyl, cycloalkylalkyl, alkenyl, heteroaryl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, arylalkanoyl, -SO₂-phenyl, and aryl wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, alkoxycarbonyl, halogen, or haloalkyl;

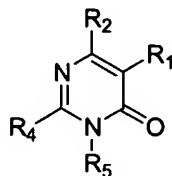
R₄ is hydrogen or R₄ is alkyl unsubstituted or substituted with one or two groups that are independently CO₂R, -CO₂-(C₁-C₆)alkyl, -C(O)NR₆R₇, -C(O)R₆, -N(R₃₀)C(O)NR₁₆R₁₇, -N(R₃₀)C(O)-(C₁-C₆)alkoxy, or -NR₆R₇, arylalkoxy, arylalkyl, heteroaryl, heteroarylalkyl, hydroxyalkyl, dihydroxyalkyl, haloalkyl, R₆R₇N-(C₁-C₆ alkyl)-, -NR₆R₇, alkoxy, hydroxyalkoxy-, (R₆R₇N)-alkoxy-, R₆R₇NC(O)-alkoxy-, R₆C(O)N(R₇)alkoxy-, carboxaldehyde, -C(O)NR₆R₇, CO₂R, alkoxyalkyl, or alkoxyalkoxy, wherein the heteroaryl or

aryl portions of is the above are unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, hydroxy, alkoxy, alkyl, $-\text{CO}_2-(\text{C}_1-\text{C}_6)\text{alkyl}$, $-\text{CONR}_6\text{R}_7$, $-\text{NR}_6\text{R}_7$, $\text{R}_6\text{R}_7\text{N}-(\text{C}_1-\text{C}_6)\text{alkyl}-$, nitro, haloalkyl, or haloalkoxy; and

R_5 is H, aryl, arylalkyl, arylthioalkyl, alkyl optionally substituted with 1, 2, or 3 groups that are independently arylalkoxycarbonyl, $-\text{NR}_8\text{R}_9$, halogen, $-\text{C}(\text{O})\text{NR}_8\text{R}_9$, alkoxycarbonyl, C_3-C_7 cycloalkyl, or alkanoyl, alkoxy, alkoxyalkyl optionally substituted with one trimethylsilyl group, amino, alkoxycarbonyl, hydroxyalkyl, dihydroxyalkyl, alkynyl, $-\text{SO}_2\text{-alkyl}$, alkoxy optionally substituted with one trimethylsilyl group, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, $-\text{alkyl-S-aryl}$, $-\text{alkyl-SO}_2\text{-aryl}$, heteroarylalkyl, heterocycloalkyl, heteroaryl, or alkenyl optionally substituted with alkoxycarbonyl, wherein each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, halogen, alkoxy, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, thioalkoxy, alkoxycarbonyl, arylalkoxycarbonyl, CO_2R , CN, OH, hydroxyalkyl, dihydroxyalkyl, amidinooxime, $-\text{NR}_6\text{R}_7$, $-\text{NR}_8\text{R}_9$, $\text{R}_6\text{R}_7\text{N}-(\text{C}_1-\text{C}_6\text{ alkyl})-$, carboxaldehyde, SO_2alkyl , $-\text{SO}_2\text{H}$, $-\text{SO}_2\text{NR}_6\text{R}_7$, alkanoyl wherein the alkyl portion is optionally substituted with OH, halogen or alkoxy, $-\text{C}(\text{O})\text{NR}_6\text{R}_7$, $-(\text{C}_1-\text{C}_4\text{ alkyl})-\text{C}(\text{O})\text{NR}_6\text{R}_7$, amidino, haloalkyl, $-(\text{C}_1-\text{C}_4\text{ alkyl})-\text{NR}_{15}\text{C}(\text{O})\text{NR}_{16}\text{R}_{17}$, $-(\text{C}_1-\text{C}_4\text{ alkyl})-\text{NR}_{15}\text{C}(\text{O})\text{R}_{18}$, $-\text{O}-\text{CH}_2-\text{O}$, $-\text{O}-\text{CH}_2\text{CH}_2-\text{O}-$, or haloalkoxy; wherein R_{15} is H or C_1-C_6 alkyl; and R_{18} is C_1-C_6 alkyl optionally substituted with $-\text{O}-(\text{C}_2-\text{C}_6\text{ alkanoyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl,

C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl; amino C₁-C₆ alkyl, mono or dialkylamino C₁-C₆ alkyl.

2. A compound according to claim 1, of the formula:



5

or a pharmaceutically acceptable salt thereof, wherein

R₁ is H, halogen, alkyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkenyl, alkynyl, arylalkynyl, CN, alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, carboxyl, or arylalkanoyl,

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wherein the aryl portion of arylalkoxy, arylalkyl, and arylalkanoyl is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, nitro, CN, haloalkyl, haloalkoxy or CO₂R;

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wherein the alkyl portion of the alkyl, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkanoyl, alkoxy, alkoxyalkyl and arylalkanoyl groups is unsubstituted or substituted with 1, 2, or 3 groups that are independently halogen, C₁-C₄ alkoxy, C₁-C₄ alkoxy carbonyl, or cyclopropyl;

20

R₂ is H, OH, halogen, -OSO₂-(C₁-C₆) alkyl, -OSO₂-aryl, arylalkoxy, aryloxy, arylthioalkoxy, arylalkynyl, alkoxy, phenyloxy(C₁-C₆)alkyl, -OC(O)NH(CH₂)_naryl, -OC(O)N(alkyl)(CH₂)_naryl, alkyl, alkynyl, alkoxyalkoxy, dialkylamino, heteroaryl, heterocycloalkyl, aryloxyalkyl, or CO₂R, wherein

25

each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, -NR₆R₇, haloalkyl, haloalkoxy, alkyl, heteroaryl,

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heteroarylalkyl, $-(C_1-C_4)alkyl-C(O)NR_6R_7$, $R_6R_7N-(C_1-C_6alkyl)-$, $-C(O)NR_6R_7$, $-(C_1-C_4alkyl)-NRC(O)NR_{16}R_{17}$, CN, hydroxyalkyl, dihydroxyalkyl, $-OC(O)NR_6R_7$, or $-(C_1-C_6)alkyl-N(R)-CO_2R_{30}$, wherein

5 R_{16} and R_{17} are independently H or C_1-C_6 alkyl; or R_{16} , R_{17} and the nitrogen to which they are attached form a morpholinyl ring;

R_6 and R_7 are independently at each occurrence H, alkyl, hydroxyalkyl, dihydroxyalkyl, alkoxy, 10 alkoxyalkyl, alkanoyl, arylalkyl, arylalkoxy, arylalkoxycarbonyl, or arylalkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, alkoxy, alkyl, OH, SH, 15 carboxaldehyde, haloalkyl, or haloalkoxy; or

R_6 , R_7 , and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, thiomorpholinyl S-oxide, thiomorpholinyl S,S-dioxide, piperidinyl, pyrrolidinyl, or 20 piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, alkoxycarbonyl, hydroxyl, hydroxyalkyl, dihydroxyalkyl, or halogen;

25 n is 0, 1, 2, 3, 4, 5 or 6;

R at each occurrence is independently H or C_1-C_6 alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C_3-C_6 cycloalkyl;

30 R_{30} is C_1-C_6 alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C_3-C_6 cycloalkyl;

R_4 is H, alkyl optionally substituted with one or two groups
 that are independently CO_2R , $-CO_2alkyl$, $-C(O)NR_6R_7$,
 $-C(O)R_6$, $-N(R_{30})C(O)NR_{16}R_{17}$, $-N(R_{30})C(O)-(C_1-C_6)alkoxy$, or
 $-NR_6R_7$, arylalkoxy, heteroaryl, arylalkyl, hydroxyalkyl,
 5 dihydroxyalkyl, haloalkyl, $-NR_6R_7$, $-C(O)NR_6R_7$, alkoxy,
 hydroxyalkoxy-, $(R_6R_7N)-alkoxy-$, $R_6R_7NC(O)-alkoxy-$,
 $R_6C(O)N(R_7)alkoxy-$, alkoxyalkyl, or alkoxyalkoxy, wherein
 the heteroaryl or aryl portions of the above are
 unsubstituted or substituted with 1, 2, 3, 4, or 5
 10 groups that are independently halogen, hydroxy,
 alkoxy, alkyl, $-CO_2-(C_1-C_6)alkyl$, $-CONR_6R_7$, $-NR_6R_7$,
 $R_6R_7N-(C_1-C_6)alkyl-$, nitro, haloalkyl, or haloalkoxy;
 and
 R_5 is H, arylalkyl, alkyl optionally substituted with 1, 2, or
 15 3 groups that are independently arylalkoxycarbonyl, $-$
 NR_8R_9 , halogen, $-C(O)NR_8R_9$, alkoxycarbonyl, or alkanoyl,
 alkoxyalkyl optionally substituted with one
 trimethylsilyl group, alkoxycarbonyl, amino,
 hydroxyalkyl, dihydroxyalkyl, alkenyl optionally
 20 substituted with alkoxycarbonyl, alkynyl, $-SO_2-alkyl$,
 aryl, alkoxy optionally substituted with one
 trimethylsilyl group, heterocycloalkylalkyl,
 heteroarylalkyl, heterocycloalkyl, or heteroaryl, wherein
 each of the above is unsubstituted or substituted with 1,
 25 2, 3, 4, or 5 groups that are independently alkyl,
 halogen, alkoxy, arylalkoxy, hydroxyalkyl,
 dihydroxyalkyl, thioalkoxy, $-SO_2alkyl$,
 alkoxycarbonyl, arylalkoxycarbonyl, CO_2R , CN, OH,
 amidinooxime, NR_8R_9 , $R_6R_7N-(C_1-C_6 alkyl)-$, $-C(O)NR_6R_7$,
 30 amidino, hydroxyalkyl, dihydroxyalkyl,
 carboxaldehyde, $-NR_6R_7$, haloalkyl, $-(C_1-C_4 alkyl)-$
 $C(O)NR_6R_7$, $-(C_1-C_4 alkyl)-CO_2R$, $-(C_1-C_4 alkyl)-C_1-C_6$
 alkoxycarbonyl, $-(C_1-C_4 alkyl)-CN$, $-(C_1-C_4 alkyl)-$

$\text{NR}_{15}\text{C}(\text{O})\text{R}_{18}$, $-\text{O}-\text{CH}_2-\text{O}-$, $-\text{O}-\text{CH}_2\text{CH}_2-\text{O}-$, phenyl or haloalkoxy;

R_8 is hydrogen, alkyl, alkanoyl, arylalkyl and arylalkanoyl;

5 R_9 is alkyl, alkanoyl, arylalkyl, heteroaryl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, and arylalkanoyl.

3. A compound according to claim 2 wherein

10 R_1 is H, halogen, alkyl optionally substituted with C_1-C_4 alkoxy, carbonyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, phenyl(C_1-C_6)alkoxy, phenyl(C_1-C_6)alkyl, CN, alkanoyl, alkoxy, C_2-C_4 alkynyl, C_2-C_6 alkenyl optionally substituted with C_1-C_4 alkoxy, carbonyl, hydroxyalkyl, haloalkyl, or phenyl(C_1-C_6)alkanoyl, 15 wherein the phenyl groups are unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, nitro, CN, CF_3 , OCF_3 or CO_2R ;

20 wherein the alkyl groups are unsubstituted or substituted with 1, 2, or 3 groups that are independently halogen, methoxy, or ethoxy;

R_2 is OH, phenyl(C_1-C_6)alkoxy, phenyloxy, phenyloxy(C_1-C_6)alkyl, phenyl(C_1-C_4)thioalkoxy, C_1-C_8 alkoxy, alkoxyalkoxy, $-\text{O}-\text{SO}_2$ phenyl, alkynyl, phenyl(C_2-C_4)alkynyl, alkyl, 25 $-\text{OC}(\text{O})\text{NH}(\text{CH}_2)_n$ phenyl, $-\text{OC}(\text{O})\text{N}(\text{alkyl})(\text{CH}_2)_n$ phenyl, dialkylamino, pyridyl, pyrimidyl, pyridazyl, pyrazolyl, imidazolyl, pyrrolyl, tetrahydroquinolinyl, tetrahydroisoquinolinyl, tetrazolyl, pyrazinyl, benzimidazolyl, triazinyl, tetrahydrofuryl, piperidinyl, 30 hexahydropyrimidinyl, thiazolyl, thienyl, or CO_2R , wherein n is 0, 1, 2, 3, 4, 5 or 6;

each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, NR_6R_7 , haloalkyl, haloalkoxy, hydroxyalkyl, dihydroxyalkyl, alkyl, phenyl, pyridyl, piperidinyl, piperazinyl, $-(\text{C}_1-\text{C}_6)\text{alkyl}-\text{N}(\text{R})-\text{CO}_2\text{R}_{30}$, $\text{R}_6\text{R}_7\text{N}-(\text{C}_1-\text{C}_6\text{alkyl})-$, $-\text{C}(\text{O})\text{NR}_6\text{R}_7$, $-(\text{C}_1-\text{C}_4)\text{alkyl}-\text{C}(\text{O})\text{NR}_6\text{R}_7$, $-(\text{C}_1-\text{C}_4\text{alkyl})-\text{NRC}(\text{O})\text{NR}_{16}\text{R}_{17}$, or $-\text{OC}(\text{O})\text{NR}_6\text{R}_7$, wherein R_6 and R_7 are independently at each occurrence H, alkyl, (C_1-C_4) hydroxyalkyl, (C_1-C_4) dihydroxyalkyl, (C_1-C_4) alkoxy, (C_1-C_4) alkoxy (C_1-C_4) alkyl, (C_1-C_4) alkanoyl, phenyl (C_1-C_4) alkyl, phenyl (C_1-C_4) alkoxy, phenyl (C_1-C_4) alkoxycarbonyl, or phenyl (C_1-C_4) alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, OH, SH, C_3-C_6 cycloalkyl, (C_1-C_4) alkoxy, (C_1-C_4) alkyl, CF_3 , carboxaldehyde, NH_2 , $\text{NH}(\text{C}_1-\text{C}_6)\text{alkyl}$, $\text{N}(\text{C}_1-\text{C}_6)\text{alkyl}$ $(\text{C}_1-\text{C}_6)\text{alkyl}$, OCF_3 ; or R_6 , R_7 , and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, piperidinyl, pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, hydroxy, hydroxy C_1-C_4 alkyl, C_1-C_4 dihydroxyalkyl, C_1-C_4 alkoxycarbonyl, or halogen; and R_4 is H, alkyl optionally substituted with one or two groups that are independently CO_2R , $-\text{CO}_2\text{alkyl}$, $-\text{C}(\text{O})\text{NR}_6\text{R}_7$, $-\text{C}(\text{O})\text{R}_6$, $-\text{N}(\text{R}_{30})\text{C}(\text{O})\text{NR}_{16}\text{R}_{17}$, $-\text{N}(\text{R}_{30})\text{C}(\text{O})-(\text{C}_1-\text{C}_6)\text{alkoxy}$, or $-\text{NR}_6\text{R}_7$, arylalkoxy, heteroaryl, arylalkyl, hydroxyalkyl, dihydroxyalkyl, haloalkyl, $-\text{NR}_6\text{R}_7$, $-\text{C}(\text{O})\text{NR}_6\text{R}_7$, alkoxy,

hydroxyalkoxy-, (R_6R_7N) -alkoxy-, $R_6R_7NC(O)$ -alkoxy-,
 $R_6C(O)N(R_7)$ alkoxy-, alkoxyalkyl, or alkoxyalkoxy, wherein
the heteroaryl or aryl portions of the above are
unsubstituted or substituted with 1, 2, 3, 4, or 5
5 groups that are independently halogen, hydroxy,
alkoxy, alkyl, $-CO_2-(C_1-C_6)$ alkyl, $-CONR_6R_7$, $-NR_6R_7$,
 $R_6R_7N-(C_1-C_6)$ alkyl-, nitro, haloalkyl, or haloalkoxy;
and

R_5 is phenyl (C_1-C_6) alkyl, (C_1-C_6) alkyl optionally substituted
10 with 1, 2, 3, 4, or 5 groups that are independently
phenyl C_1-C_4 alkoxycarbonyl, $-NR_8R_9$, halogen, $-C(O)NR_8R_9$,
alkoxycarbonyl, or alkanoyl, phenyl, alkoxy, C_2-C_6
alkynyl, C_2-C_6 alkenyl optionally substituted with
alkoxycarbonyl, indolyl, quinolinyl, isoquinolinyl,
15 isoindolyl, dihydroindolyl, pyrazolyl, imidazolyl,
dihydroisoindolyl, indolon-2-yl, indazolyl,
benzimidazolyl, pyridyl, imidazolidine dione,
pyrazolyl (C_1-C_6) alkyl, imidazolyl (C_1-C_6) alkyl,
piperidinyl (C_1-C_6) alkyl, pyrrolidinyl (C_1-C_6) alkyl,
20 imidazolidinyl (C_1-C_6) alkyl, tetrahydroisoquinolinyl $(C_1-$
 $C_6)$ alkyl, 1H-indazolyl (C_1-C_6) alkyl, dihydroindolon-2-
yl (C_1-C_6) alkyl, indolinyl (C_1-C_6) alkyl,
dihydrobenzimidazolyl (C_1-C_6) alkyl, or
dihydrobenzoimidazolonyl (C_1-C_6) alkyl, pyridyl (C_1-C_6)
25 alkyl, pyridazinyl (C_1-C_6) alkyl, pyrimidinyl (C_1-C_6)
alkyl, pyrazinyl (C_1-C_6) alkyl, tetrahydrofuryl $(C_1-$
 $C_6)$ alkyl, naphthyl (C_1-C_6) alkyl, morpholinyl (C_1-C_6) alkyl,
tetrahydrofuryl (C_1-C_6) alkyl, thienyl (C_1-C_6) alkyl,
piperazinyl (C_1-C_6) alkyl, indolyl (C_1-C_6) alkyl,
30 quinolinyl (C_1-C_6) alkyl, isoquinolinyl (C_1-C_6) alkyl,
isoindolyl (C_1-C_6) alkyl, dihydroindolyl (C_1-C_6) alkyl,
pyrazolyl (C_1-C_4) alkyl, imidazolyl (C_1-C_4) alkyl,
dihydroisoindolyl (C_1-C_6) alkyl, indoon-2-yl (C_1-C_6) alkyl,

indolon-2-yl(C₁-C₆) alkyl, or morpholinyl C₁-C₆ alkyl, wherein

each of the above is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently C₁-C₆ alkyl, halogen, C₁-C₆ alkoxy, phenyl C₁-C₆ alkoxy, C₁-C₆ thioalkoxy, C₁-C₆ alkoxy carbonyl, CO₂R, CN, -SO₂(C₁-C₆)alkyl, amidinoxime, NR₈R₉, -NR₆R₇, NR₆R₇ C₁-C₆ alkyl, -C(O)NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, amidino, C₁-C₄ haloalkyl, hydroxy C₁-C₆ alkyl, C₁-C₆ dihydroxyalkyl, or C₁-C₄ haloalkoxy; wherein

R₈ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₆ alkyl and phenyl C₁-C₆ alkanoyl; and

R₉ is aminoalkyl, mono C₁-C₆ alkylamino C₁-C₆ alkyl, di C₁-C₆ alkylamino C₁-C₆ alkyl, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₆ alkyl, indazolyl, and phenyl C₁-C₆ alkanoyl.

4. A compound according to claim 3, wherein

R₁ is H, halogen, C₁-C₄ alkyl optionally substituted with C₁-C₄ alkoxy carbonyl, C₂-C₄ alkenyl optionally substituted with C₁-C₄ alkoxy carbonyl, C₂-C₄ alkynyl, or carboxaldehyde;

R₂ is benzyloxy, OH, phenoxy, phenoxy(C₁-C₆)alkyl, phenyl (C₁-C₄) thioalkoxy, or pyridyl; wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, -(C₁-C₆)alkyl-N(R)-CO₂R₃₀, NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, (C₁-C₄) haloalkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-NRC(O)NR₁₆R₁₇, (C₁-C₄) haloalkoxy, hydroxyalkyl, C₁-C₆ dihydroxyalkyl, (C₁-C₆) alkyl, pyridyl, or R₆R₇N-(C₁-C₆ alkyl)-.

5. A compound according to claim 4, wherein

R₅ is indolyl, pyridyl, pyridazinyl, pyrimidinyl, indazolyl, tetrahydroquinolyl, tetrahydroisoquinolyl, pyrazolyl,

imidazolyl, furanyl, quinolinyl, isoquinolinyl, isoindolyl, dihydroindolyl, dihydroisoindolyl, indolon-2-yl, or pyrazinyl, each of which is unsubstituted or substituted with 1, 2, 3, 4 or 5 groups that are independently C₁-C₄ alkyl, halogen, CF₃, OCF₃, -CO₂CH₃, C₁-C₄ hydroxyalkyl, dihydroxyalkyl, C₁-C₄ alkoxy, -CO₂(C₁-C₅ alkyl), benzyloxy, -NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, -NR₈R₉, NR₆R₇-(C₁-C₄ alkyl), -C(O)NR₆R₇, or amidinooxime; wherein R₆ and R₇ are independently at each occurrence H, C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkoxy, C₁-C₄ alkoxy C₁-C₄ alkyl, C₁-C₄ alkanoyl, phenyl C₁-C₄ alkyl, phenyl C₁-C₄ alkoxy, or phenyl C₁-C₄ alkanoyl, wherein each is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, OH, SH, C₃-C₆ cycloalkyl, aryl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃; or R₆, R₇, and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

6. A compound according to claim 5, wherein R₅ is indolyl, pyridyl, pyrimidinyl, pyrazolyl, furanyl, indazolyl, dihydroindolyl, dihydroisoindolyl, indolon-2-yl, or pyrazinyl, each of which is unsubstituted or substituted with 1, 2, 3, or 4 groups that are independently C₁-C₄ alkyl, halogen, CF₃, OCF₃, -CO₂CH₃, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkoxy, -CO₂(C₁-C₅ alkyl), benzyloxy, -C(O)NR₆R₇, -NR₈R₉, -(C₁-C₄)alkyl-C(O)NR₆R₇, -NR₆R₇, NR₆R₇-(C₁-C₄ alkyl)-, and amidinooxime.

7. A compound according to claim 6, wherein
R₅ is indolyl, pyridyl, pyrimidinyl, dihydroindolyl,
dihydroisoindolyl, pyrazolyl, or pyrazinyl, each of which
5 is unsubstituted or substituted with 1, 2, 3, or 4 groups
that are independently C₁-C₄ alkyl, halogen, CF₃, OCF₃,
-CO₂CH₃, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄
alkoxy, -CO₂(C₁-C₅ alkyl), benzyloxy, -C(O)NR₆R₇, NR₈R₉, -
(C₁-C₄)alkyl-C(O)NR₆R₇, -NR₆R₇, NR₆R₇-(C₁-C₄ alkyl)-, or
10 amidinooxime; wherein
R₆ and R₇ are independently at each occurrence H, C₁-C₄
alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄
alkoxy, C₁-C₄ alkanoyl, C₁-C₄ alkoxy C₁-C₄ alkyl, each
of which is optionally substituted with 1, 2, or 3
15 groups that are independently halogen, OH, SH, C₃-C₆
cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or
OCF₃.

8. A compound according to claim 7, wherein
20 R₅ is indolyl, pyridyl, pyrimidinyl, dihydroindolyl,
dihydroisoindolyl, pyrazolyl, or pyrazinyl, each of which
is unsubstituted or substituted with 1, 2, or 3 groups
that are independently C₁-C₄ alkyl, halogen, CF₃, OCF₃, C₁-
C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkoxy,
25 -C(O)NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, NR₈R₉, -NR₆R₇, or NR₆R₇-
(C₁-C₄ alkyl)-; wherein
R₆ and R₇ are independently at each occurrence H, C₁-C₄
alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄
alkanol, or C₁-C₄ alkoxy, each of which is
30 optionally substituted with 1, 2, or 3 groups that
are independently halogen, OH, SH, C₃-C₆ cycloalkyl,
C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

9. A compound according to claim 4, wherein
 R₅ is phenyl, phenyl(C₁-C₆)alkyl, or (C₁-C₆)alkyl, wherein
 each of the above is unsubstituted or substituted with 1,
 2, 3, 4, or 5 groups that are independently alkyl,
 5 halogen, alkoxy, benzyloxy, hydroxyalkyl,
 dihydroxyalkyl, thioalkoxy, -CO₂(C₁-C₅ alkyl), CO₂R,
 CN, amidinooxime, -NR₈R₉, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-,
 -C(O)NR₆R₇, -(C₁-C₄).alkyl-C(O)NR₆R₇, amidino, CF₃, or
 OCF₃;
 10 R₈ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₆
 alkyl and phenyl C₁-C₆ alkanoyl; and
 R₉ is aminoalkyl, mono C₁-C₆ alkylamino C₁-C₆ alkyl, di C₁-
 C₆ alkylamino C₁-C₆ alkyl, C₁-C₆ alkyl, C₁-C₆ alkanoyl,
 phenyl C₁-C₄ alkyl, indazolyl, and phenyl C₁-C₄
 15 alkanoyl.

10. A compound according to claim 4, wherein
 R₅ is phenyl or phenyl(C₁-C₆)alkyl, each of which is
 unsubstituted or substituted with 1, 2, 3, 4, or 5 groups
 20 that are independently alkyl, halogen, alkoxy, benzyloxy,
 thioalkoxy, -CO₂(C₁-C₅ alkyl), CO₂R, CN, amidinooxime, -
 NR₈R₉, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, -(C₁-C₄)-
 C(O)NR₆R₇, amidino, CF₃, or OCF₃; wherein
 R₆ and R₇ are independently at each occurrence H, C₁-C₄
 25 alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄
 alkoxy, C₁-C₄ alkoxy C₁-C₄ alkyl, C₁-C₄ alkanoyl,
 phenyl C₁-C₄ alkyl, phenyl C₁-C₄ alkoxy, or phenyl C₁-
 C₄ alkanoyl, wherein each is unsubstituted or
 substituted with 1, 2, or 3 groups that are
 30 independently, halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-
 C₄ alkoxy, C₁-C₄ alkyl, CF₃, or OCF₃; or
 R₆, R₇, and the nitrogen to which they are attached form a
 morpholinyl, thiomorpholinyl, or piperazinyl ring

which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen;

R₈ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₆ alkyl and phenyl C₁-C₆ alkanoyl; and

R₉ is aminoalkyl, mono C₁-C₆ alkylamino C₁-C₆ alkyl, di C₁-C₆ alkylamino C₁-C₆ alkyl, C₁-C₆ alkyl, C₁-C₆ alkanoyl, phenyl C₁-C₄ alkyl, indazolyl, and phenyl C₁-C₄ alkanoyl.

10

11. A compound according to claim 10, wherein

R₅ is phenyl, benzyl or phenethyl, wherein each is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently C₁-C₆ alkyl, -NR₆R₇, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₈R₉, halogen, C₁-C₆ alkoxy, CO₂R, -(C₁-C₄ alkyl)-CO₂R, C₁-C₆ thioalkoxy, amidinooxime, C₁-C₆ alkoxycarbonyl, -(C₁-C₄ alkyl)-C₁-C₆ alkoxycarbonyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-C₄ alkyl)-CN, CN, phenyl C₁-C₆ alkoxy, OH, C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈, amidinooxime, -SO₂(C₁-C₆ alkyl), -O-CH₂-O-, -O-CH₂CH₂-O-, phenyl C₁-C₄ alkoxy, or phenyl; wherein

15

20

R₆ and R₇ are independently at each occurrence H, C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkanoyl, or C₁-C₄ alkoxy, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

25

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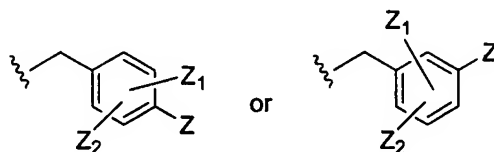
12. A compound according to claim 11, wherein

R₅ is phenyl, benzyl or phenethyl, each of which is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently CN, halogen, C₁-C₄ alkoxy, CF₃,

OCF₃, C₁-C₄ alkyl, -NR₈R₉, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -C(O)NR₆R₇, wherein

R₆ and R₇ are independently at each occurrence H, C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₄ alkanoyl, or C₁-C₄ alkoxy, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

13. A compound according to claim 4, wherein the R₅ group is of the formula:



wherein

Z₁ and Z₂ are independently H, halogen, C₁-C₄ alkyl, or CO₂R;
and

Z is -C(O)NR₆R₇, -(C₁-C₄)alkyl-C(O)NR₆R₇, -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -NR₈R₉, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkyl, CO₂R, or halogen; wherein

R₆ and R₇ at each occurrence are independently H, OH, C₁-C₆ alkyl, amino C₁-C₄ alkyl, NH(C₁-C₆ alkyl)alkyl, N(C₁-C₆ alkyl)(C₁-C₆ alkyl) C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy C₁-C₆ alkyl, or -SO₂(C₁-C₆ alkyl) each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃;

or

R₆, R₇, and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a

morpholinyl, thiomorpholinyl, ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen; and

- 5 R₁₈ is C₁-C₆ alkyl optionally substituted with -O-(C₂-C₆ alkanoyl, C₁-C₆ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl; amino C₁-C₆ alkyl, mono or dialkylamino C₁-C₆ alkyl.

- 10 14. A compound according to claim 4, wherein
 R₅ is pyrazolyl(C₁-C₆ alkyl), imidazolyl(C₁-C₆ alkyl),
 thienyl(C₁-C₆ alkyl), furanyl(C₁-C₆ alkyl), piperidinyl(C₁-
 C₆)alkyl, pyrrolidinyl(C₁-C₆)alkyl, imidazolidinyl(C₁-
 C₆)alkyl, piperazinyl(C₁-C₆)alkyl, pyridyl(C₁-C₆)alkyl,
 15 pyrimidyl(C₁-C₆)alkyl, pyridazyl(C₁-C₆)alkyl, pyrazinyl(C₁-
 C₆)alkyl, isoquinolinyl(C₁-C₆)alkyl,
 tetrahydroisoquinolinyl(C₁-C₆)alkyl, indolyl(C₁-C₆)alkyl,
 1H-indazolyl(C₁-C₆)alkyl, dihydroindolyl(C₁-C₆ alkyl),
 dihydroindolon-2-yl(C₁-C₆ alkyl), indolinyl(C₁-C₆ alkyl),
 20 dihydroisoindolyl(C₁-C₆ alkyl), dihydrobenzimidazolyl(C₁-C₆
 alkyl), or dihydrobenzoimidazolonyl(C₁-C₆ alkyl), wherein
 each of the above is unsubstituted or substituted with 1,
 2, 3, 4, or 5 groups that are independently (C₁-
 C₆)alkyl, halogen, (C₁-C₆)alkoxy, (C₁-C₆)hydroxyalkyl,
 25 C₁-C₆ dihydroxyalkyl, phenyl(C₁-C₆)alkoxy, (C₁-
 C₆)thioalkoxy, (C₁-C₆)alkoxycarbonyl, phenyl(C₁-
 C₆)alkoxycarbonyl, OH, CO₂R, CN, amidinoxime, -NR₈R₉,
 -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, -(C₁-C₄
 alkyl)-C(O)NR₆R₇, amidino, piperazinyl, morpholinyl, -
 30 SO₂ (C₁-C₆) alkyl, -SO₂NH₂, -SO₂NH(C₁-C₆)alkyl, -
 SO₂N(C₁-C₆)alkyl (C₁-C₆)alkyl, (C₁-C₄)haloalkyl, -(C₁-
 C₄ alkyl)-NR₁₅C(O)NR₁₆R₁₇, -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈,
 -O-CH₂-O-, -O-CH₂CH₂-O-, or (C₁-C₄)haloalkoxy; wherein

R₆ and R₇ are independently at each occurrence H,
 (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-
 C₆)alkyl, (C₁-C₆)alkoxycarbonyl, (C₁-
 C₆)hydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-
 5 C₄)alkyl-CO₂-(C₁-C₆)alkyl, (C₁-C₆)alkanoyl,
 phenyl(C₁-C₆)alkyl, phenyl(C₁-C₆)alkoxy, or
 phenyl(C₁-C₆)alkanoyl, wherein each of the above
 is unsubstituted or substituted with 1, 2, or 3
 groups that are independently, halogen, (C₁-
 10 C₄)alkoxy, OH, SH, C₃-C₆ cycloalkyl, NH₂, NH(C₁-
 C₆ alkyl), N(C₁-C₆ alkyl)(C₁-C₆ alkyl), (C₁-
 C₄)alkyl, CF₃ or OCF₃; or
 R₆, R₇, and the nitrogen to which they are attached
 form a morpholinyl, thiomorpholinyl,
 15 piperidinyl, pyrrolidinyl, or piperazinyl ring
 which is optionally substituted with 1 or 2
 groups that are independently C₁-C₄ alkyl,
 hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄
 dihydroxyalkyl, or halogen; and
 20 R₁₈ is C₁-C₆ alkyl optionally substituted with -O-(C₂-
 C₆ alkanoyl, C₁-C₆ hydroxyalkyl, C₁-C₆
 dihydroxyalkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆
 alkyl; amino C₁-C₆ alkyl, mono or dialkylamino
 C₁-C₆ alkyl,

25

15. A compound according to claim 14, wherein
 R₅ is pyrazolyl(C₁-C₆ alkyl), imidazolyl(C₁-C₆ alkyl),
 benzimidazolyl(C₁-C₆ alkyl), thienyl(C₁-C₆ alkyl),
 pyrimidyl(C₁-C₆)alkyl, indolyl(C₁-C₆ alkyl),
 30 dihydroindolyl(C₁-C₆ alkyl), dihydroisoindolyl(C₁-C₆
 alkyl), dihydroindolon-2-yl(C₁-C₆ alkyl), pyridinyl(C₁-C₆
 alkyl), piperazinyl(C₁-C₆ alkyl), or pyrazinyl(C₁-C₆ alkyl)
 each of which is optionally substituted with 1, 2, or 3

groups that are independently C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, halogen, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, C₁-C₆ alkoxy carbonyl, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, haloalkyl, C₁-C₆ alkanoyl,

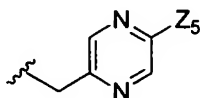
- 5 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy;

or

- 10 R₆, R₇, and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

15

16. A compound according to claim 15, wherein R₅ is of the formula:



wherein

- 20 Z₅ is C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, halogen, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, C₁-C₆ alkoxy carbonyl, R₆R₇N-(C₁-C₆ alkyl)-, -NR₆R₇, CF₃, or C₁-C₆ alkanoyl, wherein

- 25 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy;

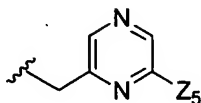
or

- 30 R₆, R₇, and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2

groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

17. A compound according to claim 15, wherein

5 R₅ is of the formula:



wherein

10 Z₅ is C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, halogen, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, C₁-C₆ alkoxy carbonyl, R₆R₇N-(C₁-C₆ alkyl)-, -NR₆R₇, CF₃, or C₁-C₆ alkanoyl, wherein

15 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy;

or

20 R₆, R₇, and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

18. A compound according to claim 16, wherein

25 Z₅ is C₁-C₄ alkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, halogen, C₁-C₆ alkoxy carbonyl, CF₃, or C₁-C₆ alkanoyl.

19. A compound according to claim 16, wherein

30 Z₅ is C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -NR₆R₇, CF₃, or C₁-C₄ alkanoyl, wherein

R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxycarbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy;

5 or

R_6 , R_7 , and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a morpholinyl ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C_1 - C_4 alkyl, C_1 - C_4 dihydroxyalkyl, or halogen.

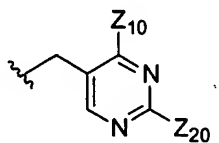
20. A compound according to claim 19, wherein

15 Z_5 is $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-NR_6R_7$, wherein

R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxycarbonyl, halogen, cyclopropyl, OH, SH, or C_1 - C_4 alkoxy.

20

21. A compound according to claim 15, wherein



R_5 is of the formula:

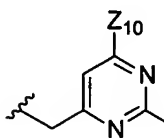
Z_{10} is H or methyl; and

25 Z_{20} is hydroxy(C_1 - C_4)alkyl, C_1 - C_4 dihydroxyalkyl, OH, halogen, haloalkyl, (C_1 - C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, or $-C(O)NR_6R_7$, wherein

30 R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups

that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

22. A compound according to claim 15, wherein

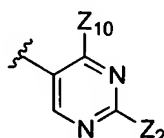
5 R₅ is of the formula: , wherein

Z₁₀ is H or methyl; and

Z₂₀ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein

10 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

15 23. A compound according to claim 15, wherein

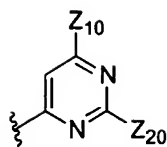
R₅ is of the formula: , wherein

Z₁₀ is H or methyl; and

20 Z₂₀ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, haloalkyl, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein

25 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

24. A compound according to claim 15, wherein



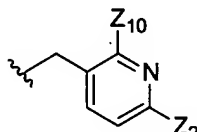
R₅ is of the formula:

Z₁₀ is H or methyl; and

Z₂₀ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

25. A compound according to claim 15, wherein



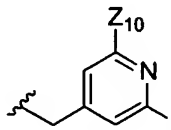
R₅ is of the formula:

Z₁₀ is H or methyl; and

Z₂₀ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, haloalkyl, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein

R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

26. A compound according to claim 15, wherein

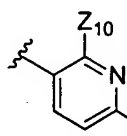


R₅ is of the formula:

Z₁₀ is H or methyl; and

Z_{20} is hydroxy(C_1 - C_4)alkyl, C_1 - C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1 - C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, or $-C(O)NR_6R_7$, wherein R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy, carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

27. A compound according to claim 15, wherein



R_5 is of the formula:

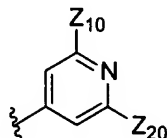
Z_{10} is H or methyl; and

Z_{20} is hydroxy(C_1 - C_4)alkyl, C_1 - C_4 dihydroxyalkyl, OH, halogen, haloalkyl, (C_1 - C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, or $-C(O)NR_6R_7$,

wherein

R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy, carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

28. A compound according to claim 15, wherein



R_5 is of the formula:

Z_{10} is H or methyl; and

Z_{20} is hydroxy(C_1 - C_4)alkyl, C_1 - C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1 - C_4)alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6$ alkyl)-, $-(C_1-C_4$ alkyl)- $C(O)NR_6R_7$, or $-C(O)NR_6R_7$, wherein

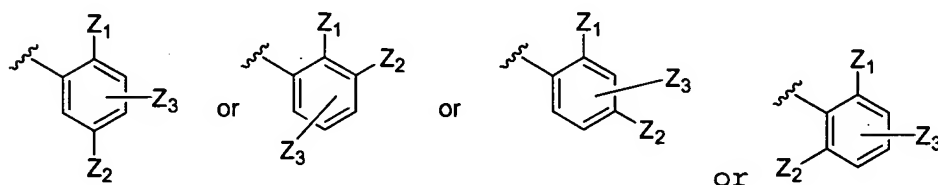
R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are

independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

29. A compound according to claim 4, wherein

- 5 R₅ is phenyl, which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, OH, C₁-C₆ alkoxy carbonyl, CF₃, -(C₁-C₄ alkyl)-
 10 NR₁₅C(O)NR₁₆R₁₇, -(C₁-C₄ alkyl)-NR₁₅C(O)R₁₈; wherein
 R₁₅ is H or C₁-C₆ alkyl;
 R₁₆ and R₁₇ are independently H or C₁-C₆ alkyl; or
 R₁₆, R₁₇, and the nitrogen to which they are attached form
 a morpholinyl ring; and
 15 R₁₈ is C₁-C₆ alkyl optionally substituted with -O-(C₂-C₆ alkanoyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl; amino C₁-C₆ alkyl, mono or dialkylamino C₁-C₆ alkyl.

- 20 30. A compound according to claim 29, wherein
 R₅ is of the formula:



- Z₁ is H, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄
 25 hydroxyalkyl, C₁-C₄ dihydroxyalkyl, or C₁-C₄ alkoxy; and
 Z₂ is C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇,
 NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆
 dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, OH, C₁-C₆
 alkoxy carbonyl, or C₁-C₄ haloalkyl;

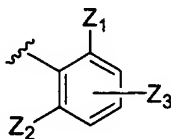
Z_3 is H, C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6 \text{ alkyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, halogen, C_1-C_4 alkoxy, CO_2R , OH, C_1-C_6 alkoxycarbonyl, or C_1-C_4 haloalkyl;

5 wherein

R_6 and R_7 at each occurrence are independently H, OH, C_1 - C_6 alkyl, amino C_1 - C_4 alkyl, $NH(C_1-C_6 \text{ alkyl})alkyl$, $N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$ C_1-C_6 alkyl, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, $-SO_2(C_1-C_6 \text{ alkyl})$,
 10 $-SO_2NH_2$, $-SO_2NH(C_1-C_6 \text{ alkyl})$, $-SO_2N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$, or C_1-C_6 alkanoyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C_3-C_6 cycloalkyl, C_1-C_4 alkoxy, C_1-C_4 alkyl, OH, CF_3 , or OCF_3 .

15

31. A compound according to claim 30, wherein
 R_5 is of the formula:



wherein

20 Z_1 is H, halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 dihydroxyalkyl, or C_1-C_4 alkoxy; and

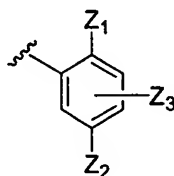
Z_2 is C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6 \text{ alkyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, halogen, C_1-C_4 alkoxy, CO_2R , OH, C_1-C_6
 25 alkoxycarbonyl, or C_1-C_4 haloalkyl;

Z_3 is H, C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6 \text{ alkyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, halogen, C_1-C_4 alkoxy, CO_2R , OH, C_1-C_6 alkoxycarbonyl, or C_1-C_4 haloalkyl, wherein

30 R_6 and R_7 at each occurrence are independently H, OH, C_1 - C_6 alkyl, amino C_1 - C_4 alkyl, $NH(C_1-C_6 \text{ alkyl})alkyl$, $N(C_1-C_6$

alkyl)(C₁-C₆ alkyl) C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy C₁-C₆ alkyl, -SO₂(C₁-C₆ alkyl), -SO₂NH₂, -SO₂NH(C₁-C₆ alkyl), -SO₂N(C₁-C₆ alkyl)(C₁-C₆ alkyl), or C₁-C₆ alkanoyl, each of which is optionally substituted with 1,
 5 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.

32. A compound according to claim 30, wherein
 R₅ is of the formula:



10

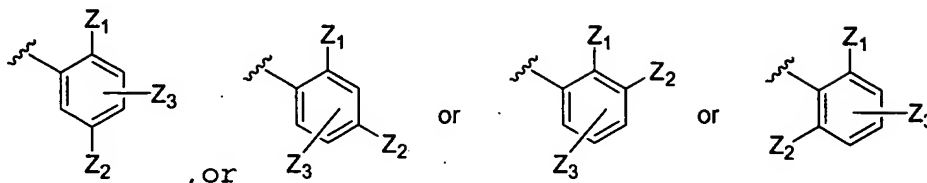
wherein

Z₁ is H, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, or C₁-C₄ alkoxy; and
 Z₂ is C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇,
 15 NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, OH, C₁-C₆ alkoxycarbonyl, or C₁-C₄ haloalkyl;

Z₃ is H, C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇,
 NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, OH, C₁-C₆ alkoxycarbonyl, or C₁-C₄ haloalkyl, wherein
 20

R₆ and R₇ at each occurrence are independently H, OH, C₁-C₆ alkyl, amino C₁-C₄ alkyl, NH(C₁-C₆ alkyl)alkyl, N(C₁-C₆ alkyl)(C₁-C₆ alkyl) C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆ alkoxy C₁-C₆ alkyl, -SO₂(C₁-C₆ alkyl),
 25 -SO₂NH₂, -SO₂NH(C₁-C₆ alkyl), -SO₂N(C₁-C₆ alkyl)(C₁-C₆ alkyl), or C₁-C₆ alkanoyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently halogen, OH, SH, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkyl, OH, CF₃, or OCF₃.
 30

33. A compound according to claim 29, wherein
 R_5 is either



5

wherein

Z_1 is H, halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 dihydroxyalkyl, or C_1 - C_4 alkoxy; and
 Z_2 is C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$,
 $NR_6R_7(C_1-C_6 \text{ alkyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6
 10 dihydroxyalkyl, halogen, C_1 - C_4 alkoxy, CO_2R , C_1-C_6
 alkoxycarbonyl, $-(C_1-C_4 \text{ alkyl})-NR_{15}C(O)NR_{16}R_{17}$, or $-(C_1-C_4$
 alkyl)- $NR_{15}C(O)R_{18}$;

Z_3 is H, C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$,
 $NR_6R_7(C_1-C_6 \text{ alkyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6
 15 dihydroxyalkyl, halogen, C_1 - C_4 alkoxy, CO_2R , C_1-C_6
 alkoxycarbonyl, $-(C_1-C_4 \text{ alkyl})-NR_{15}C(O)NR_{16}R_{17}$, or $-(C_1-C_4$
 alkyl)- $NR_{15}C(O)R_{18}$;

R_6 , R_7 , and the nitrogen to which they are attached form a
 piperidinyl, pyrrolidinyl, piperazinyl, or a
 20 morpholinyl ring optionally substituted with 1 or 2
 groups that are independently alkyl, hydroxy,
 hydroxy C_1 - C_4 alkyl, C_1 - C_4 dihydroxyalkyl, or halogen;

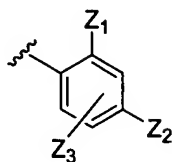
R_{15} is H or C_1 - C_6 alkyl;

R_{16} and R_{17} are independently H or C_1 - C_6 alkyl; or

25 R_{16} , R_{17} , and the nitrogen to which they are attached form
 a morpholinyl ring;

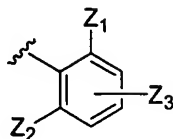
R_{18} is C_1 - C_6 alkyl optionally substituted with $-O-(C_2-C_6$
 alkanoyl, C_1 - C_6 hydroxyalkyl, C_1 - C_6 dihydroxyalkyl, C_1 - C_6
 alkoxy, C_1 - C_6 alkoxy C_1 - C_6 alkyl; amino C_1 - C_6 alkyl, mono
 30 or dialkylamino C_1 - C_6 alkyl.

34. A compound according to claim 33, wherein
 R_5 is of the formula:



- 5 Z_1 is H, halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 dihydroxyalkyl, or C_1 - C_4 alkoxy; and
- Z_2 is C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6 \text{ alkyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6
- 10 dihydroxyalkyl, halogen, C_1 - C_4 alkoxy, CO_2R , C_1-C_6 alkoxycarbonyl, $-(C_1-C_4 \text{ alkyl})-NR_{15}C(O)NR_{16}R_{17}$, or $-(C_1-C_4 \text{ alkyl})-NR_{15}C(O)R_{18}$;
- Z_3 is H, C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, $NR_6R_7(C_1-C_6 \text{ alkyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6
- 15 dihydroxyalkyl, halogen, C_1 - C_4 alkoxy, CO_2R , C_1-C_6 alkoxycarbonyl, $-(C_1-C_4 \text{ alkyl})-NR_{15}C(O)NR_{16}R_{17}$, or $-(C_1-C_4 \text{ alkyl})-NR_{15}C(O)R_{18}$;
- R_6 , R_7 , and the nitrogen to which they are attached form a piperidinyl, pyrrolidinyl, piperazinyl, or a
- 20 morpholinyl ring optionally substituted with 1 or 2 groups that are independently alkyl, hydroxy, hydroxy C_1 - C_4 alkyl, C_1 - C_4 dihydroxyalkyl, or halogen;
- R_{15} is H or C_1 - C_6 alkyl;
- R_{16} and R_{17} are independently H or C_1 - C_6 alkyl; or
- 25 R_{16} , R_{17} , and the nitrogen to which they are attached form a morpholinyl ring;
- R_{18} is C_1 - C_6 alkyl optionally substituted with $-O-(C_2-C_6 \text{ alkanoyl})$, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl; amino C_1-C_6 alkyl, mono
- 30 or dialkylamino C_1-C_6 alkyl.

35. A compound according to claim 33, wherein
 R₅ is of the formula:



5 wherein

Z₁ is H, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, or C₁-C₄ alkoxy; and
 Z₂ is C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇,
 NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆
 10 dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, C₁-C₆
 alkoxycarbonyl, -(C₁-C₄ alkyl)-NR₁₅C(O)NR₁₆R₁₇, or -(C₁-C₄
 alkyl)-NR₁₅C(O)R₁₈;

Z₃ is H, C₁-C₄ alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇,
 NR₆R₇(C₁-C₆ alkyl), C₁-C₆ hydroxyalkyl, C₁-C₆
 15 dihydroxyalkyl, halogen, C₁-C₄ alkoxy, CO₂R, C₁-C₆
 alkoxycarbonyl, -(C₁-C₄ alkyl)-NR₁₅C(O)NR₁₆R₁₇, or -(C₁-C₄
 alkyl)-NR₁₅C(O)R₁₈;

R₆, R₇, and the nitrogen to which they are attached form a
 piperidinyl, pyrrolidinyl, piperazinyl, or a
 20 morpholinyl ring, each of which is optionally
 substituted with 1 or 2 groups that are
 independently alkyl, hydroxy, hydroxy C₁-C₄ alkyl,
 C₁-C₄ dihydroxyalkyl, or halogen;

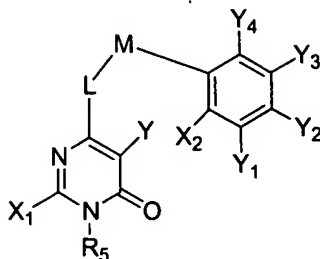
R₁₅ is H or C₁-C₆ alkyl;

25 R₁₆ and R₁₇ are independently H or C₁-C₆ alkyl; or

R₁₆, R₁₇, and the nitrogen to which they are attached form
 a morpholinyl ring;

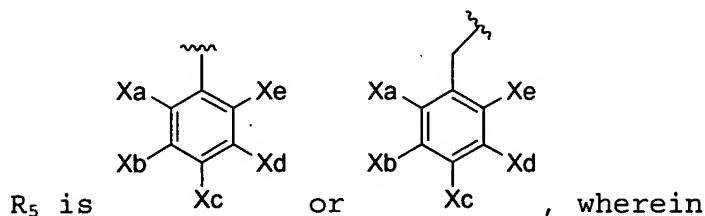
R₁₈ is C₁-C₆ alkyl optionally substituted with -O-(C₂-C₆
 alkanoyl, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, C₁-C₆
 30 alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl; amino C₁-C₆ alkyl, mono or
 dialkylamino C₁-C₆ alkyl.

36. A compound of the formula



or a pharmaceutically acceptable salt thereof, wherein

- 5 L and M are independently selected from -O-, -CH₂-, -S-, -NR-, -N(R)-N(R)-, C(=O)-, -SO₂-;



- X₁, X₂, X_a, X_b, X_c, X_d, and X_e are independently selected from -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, H, OH, halogen, haloalkyl, alkyl, haloalkoxy, heteroaryl, heterocycloalkyl, C₃-C₇ cycloalkyl, R₆R₇N-(C₁-C₆ alkyl)-, -CO₂-(C₁-C₆)alkyl, -N(R)C(O)NR₆R₇, -N(R)C(O)-(C₁-C₆)alkoxy, CO₂R-(C₁-C₆ alkyl)-, or -SO₂NR₆R₇; wherein the heteroaryl and heterocycloalkyl groups are optionally substituted with -NR₆R₇, -C(O)NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, C₁-C₆ alkyl, C₁-C₆ alkoxy, or halogen; or
- R₅ is heteroaryl or heteroarylalkyl, wherein the heteroaryl and heteroaryl groups are optionally substituted with 1, 2, 3, or 4 groups that are independently -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, H, OH, halogen, haloalkyl, alkyl, haloalkoxy, R₆R₇N-(C₁-C₆ alkyl)-, -CO₂-(C₁-C₆)alkyl, -N(R)C(O)NR₆R₇, or -N(R)C(O)-(C₁-C₆)alkoxy; wherein

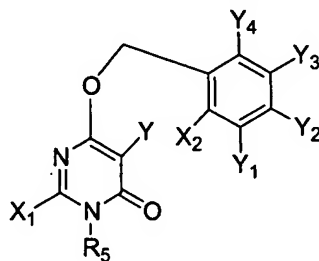
R₆ and R₇ are independently at each occurrence H, C₁-C₆
 alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆
 alkoxy carbonyl, OH, C₁-C₆ hydroxyalkyl, C₁-C₄
 dihydroxyalkyl, C₁-C₆ thiohydroxyalkyl, -(C₁-C₄)alkyl-
 5 CO₂-alkyl, pyridyl C₁-C₆ alkyl, C₁-C₆ alkanoyl,
 benzyl, phenyl C₁-C₆ alkoxy, or phenyl C₁-C₆ alkanoyl,
 wherein each of the above is unsubstituted or
 substituted with 1, 2, or 3 groups that are
 independently, halogen, C₃-C₆ cycloalkyl, C₁-C₆
 10 alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-C₆
 alkyl, piperazinyl C₁-C₆ alkyl, OH, SH, NH₂,
 NH(alkyl), N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄
 alkyl, CF₃, or OCF₃; or

R₆, R₇, and the nitrogen to which they are attached form a
 15 morpholinyl, thiomorpholinyl, piperidinyl,
 pyrrolidinyl, or piperazinyl ring which is
 optionally substituted with 1 or 2 groups that are
 independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy,
 hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen;

20 R at each occurrence is independently H or C₁-C₆ alkyl;
 and

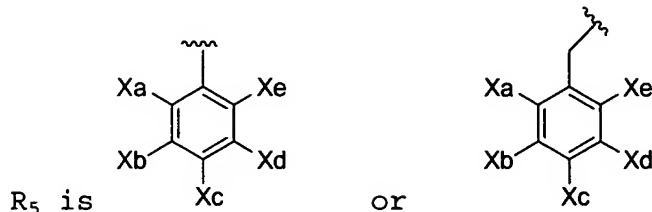
Y, Y₁, Y₂, Y₃, and Y₄ are independently selected from H,
 halogen, alkyl, carboxaldehyde, hydroxyalkyl,
 dihydroxyalkyl, alkenyl, alkynyl, CN, alkanoyl, alkoxy,
 25 alkoxyalkyl, haloalkyl, and carboxyl.

37. A compound according to claim 36 of the formula



or a pharmaceutically acceptable salt thereof.

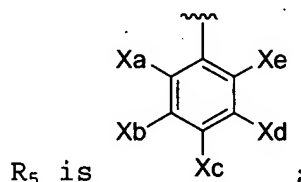
38. A compound according to claim 37, wherein



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39. A compound according to claim 31, wherein Y_2 , Y_4 , and Y are independently halogen; and Y_1 and Y_3 are both hydrogen.

10 40. A compound according to claim 39, wherein



15 X_1 and X_2 are independently H, methyl, NR_6R_7 , $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, $-C(O)NR_6R_7$, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, or $-(C_1-C_4 \text{ alkyl})$ -morpholinyl; and

X_a and X_e are independently halogen, NH_2 , $NH(C_1-C_6 \text{ alkyl})$, $N(C_1-C_6 \text{ alkyl})(C_1-C_6 \text{ alkyl})$, methyl, or hydrogen.

20 41. A compound according to claim 40, wherein one of X_b and X_c is hydrogen and the other is $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, $-C(O)NR_6R_7$, $-SO_2NR_6R_7$, or halogen; where

25 R_6 and R_7 are independently at each occurrence H, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 alkoxycarbonyl, OH, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl, $-(C_1-C_4)\text{alkyl}-CO_2\text{-alkyl}$, pyridyl C_1-C_6 alkyl, C_1-C_6 alkanoyl, benzyl, phenyl C_1-C_6 alkoxy, or

phenyl C₁-C₆ alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C₃-C₆ cycloalkyl, C₁-C₆ alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-C₆ alkyl, piperazinyl C₁-C₆ alkyl, OH, SH, NH₂, NH(alkyl), N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄ alkyl, CF₃, or OCF₃; or

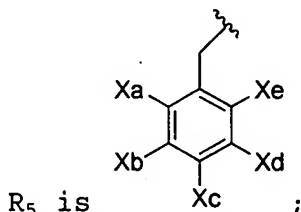
R₆, R₇, and the nitrogen to which they are attached form a morpholinyl, thiomorpholinyl, piperidinyl, pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

42. A compound according to claim 41, wherein R₆ and R₇ are independently at each occurrence H, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆ alkoxycarbonyl, OH, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-C₄)alkyl-CO₂-alkyl, pyridyl C₁-C₆ alkyl, C₁-C₆ alkanoyl, benzyl, phenyl C₁-C₆ alkoxy, or phenyl C₁-C₆ alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C₃-C₆ cycloalkyl, C₁-C₆ alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-C₆ alkyl, piperazinyl C₁-C₆ alkyl, OH, NH₂, NH(alkyl), N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄ alkyl, CF₃, or OCF₃.

43. A compound according to claim 42, wherein X_a is hydrogen, methyl, fluorine, or chlorine; X_c and X_d are both hydrogen; X_b is -NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇; wherein

R₆ and R₇ are independently at each occurrence H, C₁-C₆ alkyl, C₁-C₆ hydroxyalkyl, C₁-C₄ dihydroxyalkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, or C₁-C₆ alkanoyl, wherein each of the above is optionally substituted with 1, 2, or 3 groups that are independently OH, SH, halogen, or C₃-C₆ cycloalkyl.

44. A compound according to claim 39, wherein



X_a is H, fluoro, chloro, or methyl;
 X_e is hydrogen, halogen, or methyl; and
 X_b is H;
 X_d is H or halogen;

45. A compound according to claim 44, wherein

X_c is -SO₂NR₆R₇, or halogen; wherein

R₆ and R₇ are independently at each occurrence H, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆ alkoxycarbonyl, OH, C₁-C₆ hydroxyalkyl, C₁-C₆ dihydroxyalkyl, -(C₁-C₄)alkyl-CO₂-alkyl, pyridyl C₁-C₆ alkyl, C₁-C₆ alkanoyl, benzyl, phenyl C₁-C₆ alkoxy, or phenyl C₁-C₆ alkanoyl, wherein each of the above is unsubstituted or substituted with 1, 2, or 3 groups that are independently, halogen, C₃-C₆ cycloalkyl, C₁-C₆ alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-C₆ alkyl, piperazinyl C₁-C₆ alkyl, OH, SH, NH₂, NH(alkyl), N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄ alkyl, CF₃, or OCF₃; or

5 R_6 , R_7 , and the nitrogen to which they are attached form a
 morpholinyl, thiomorpholinyl, piperidinyl,
 pyrrolidinyl, or piperazinyl ring which is
 optionally substituted with 1 or 2 groups that are
 independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy, hydroxy,
 hydroxy C_1 - C_4 alkyl, C_1 - C_4 dihydroxyalkyl, or halogen;
 or

10 X_c is fluoro, chloro, $-NH_2$, $-NH(C_1-C_6 \text{ alkyl})$, $-N(C_1-C_6 \text{ alkyl})(C_1-$
 $C_6 \text{ alkyl})$, $-SO_2NH_2$, $-SO_2NH(C_1-C_6 \text{ alkyl})$, $-SO_2N(C_1-C_6$
 $alkyl)(C_1-C_6 \text{ alkyl})$, or piperazinyl, wherein the
 piperazinyl group is optionally substituted with 1 or 2
 groups that are independently C_1 - C_4 alkyl, C_1 - C_4 alkoxy,
 hydroxy, hydroxy C_1 - C_4 alkyl, C_1 - C_4 dihydroxyalkyl, or
 halogen.

15

46. A compound according to claim 44, wherein

X_c is $-C(O)NR_6R_7$, $-(C_1-C_6 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$, or $R_6R_7N-(C_1-C_6$
 $alkyl)-$; wherein

20 R_6 and R_7 are independently at each occurrence H, C_1 - C_6
 $alkyl$, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy C_1 - C_6 alkyl, C_1 - C_6
 $alkoxycarbonyl$, OH, C_1 - C_6 hydroxyalkyl, C_1 - C_6
 $dihydroxyalkyl$, C_1 - C_6 dihydroxyalkyl, $-(C_1-C_4)alkyl-$
 $CO_2-alkyl$, pyridyl C_1 - C_6 alkyl, C_1 - C_6 alkanoyl,
 benzyl, phenyl C_1 - C_6 alkoxy, or phenyl C_1 - C_6 alkanoyl,
 25 wherein each of the above is unsubstituted or
 substituted with 1, 2, or 3 groups that are
 independently, halogen, C_3 - C_6 cycloalkyl, C_1 - C_6
 $alkoxy$, piperidinyl C_1 - C_6 alkyl, morpholinyl C_1 - C_6
 $alkyl$, piperazinyl C_1 - C_6 alkyl, OH, $-NH_2$, $-NH(alkyl)$,
 30 $-N(alkyl)(alkyl)$, $-O-C_1-C_4$ alkanoyl, C_1 - C_4 alkyl, CF_3 ,
 or OCF_3 ; or

R_6 , R_7 , and the nitrogen to which they are attached form a
 morpholinyl, thiomorpholinyl, piperidinyl,

pyrrolidinyl, or piperazinyl ring which is optionally substituted with 1 or 2 groups that are independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen.

5

47. A compound according to claim 46, wherein

R₆ is hydrogen; and

R₇ is C₁-C₆ alkyl or C₁-C₆ alkanoyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently
 10 NH₂, NH(C₁-C₆ alkyl), N(C₁-C₆ alkyl)(C₁-C₆ alkyl), OH, SH, cyclopropyl, or C₁-C₄ alkoxy;

48. A compound according to claim 47, wherein

X_c is -C(O)NR₆R₇.

15

49. A compound according to claim 47, wherein

X_c is NR₆R₇, or R₆R₇N-(C₁-C₆ alkyl)-.

50. A compound according to claim 38, wherein

20 X_a is hydrogen;

two of X_b, X_c, and X_d are hydrogen and the other is -C(O)NR₆R₇,
 -(C₁-C₆ alkyl)-C(O)NR₆R₇, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)- or -
 CO₂-(C₁-C₆)alkyl; wherein

R₆ and R₇ are independently at each occurrence H, C₁-C₆
 25 alkyl, C₁-C₆ alkoxy, C₁-C₆ alkoxy C₁-C₆ alkyl, C₁-C₆
 alkoxycarbonyl, OH, C₁-C₆ hydroxyalkyl, C₁-C₆
 dihydroxyalkyl, -(C₁-C₄)alkyl-CO₂-alkyl, pyridyl C₁-C₆
 alkyl, C₁-C₆ alkanoyl, benzyl, phenyl C₁-C₆ alkoxy, or
 phenyl C₁-C₆ alkanoyl, wherein each of the above is
 30 unsubstituted or substituted with 1, 2, or 3 groups
 that are independently, halogen, C₃-C₆ cycloalkyl,
 C₁-C₆ alkoxy, piperidinyl C₁-C₆ alkyl, morpholinyl C₁-
 C₆ alkyl, piperazinyl C₁-C₆ alkyl, OH, NH₂, NH(alkyl),

N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄ alkyl, CF₃,
or OCF₃; or

R₆, R₇, and the nitrogen to which they are attached form a
morpholinyl, piperidinyl, pyrrolidinyl, or
5 piperazinyl ring which is optionally substituted
with 1 or 2 groups that are independently C₁-C₄
alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy C₁-C₄ alkyl, C₁-
C₄ dihydroxyalkyl, or halogen; and

X_e is hydrogen, methyl, C₁-C₂ alkoxy, or halogen.

10

51. A compound according to claim 50, wherein

X_b is -C(O)NR₆R₇, -(C₁-C₆ alkyl)-C(O)NR₆R₇, -NR₆R₇, or R₆R₇N-(C₁-C₆
alkyl)- wherein

R₆ is hydrogen or C₁-C₄ alkyl;

15 R₇ is OH, C₁-C₆ alkyl or C₁-C₆ alkanoyl, wherein the alkyl and
alkanoyl groups substituted with 1, 2, or 3 groups that
are independently NH₂, NH(C₁-C₆ alkyl), N(C₁-C₆ alkyl)(C₁-C₆
alkyl), C₃-C₆ cycloalkyl, OH, or C₁-C₄ alkoxy.

20 52. A compound according to claim 38, wherein

X_a is halogen or methyl;

X_b is H, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, or -CO₂-(C₁-
C₆)alkyl;

X_c is -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -C(O)NR₆R₇, halogen, -CO₂-(C₁-
25 C₆)alkyl, NH₂, NH(C₁-C₆ alkyl), N(C₁-C₆ alkyl)(C₁-C₆ alkyl),
-SO₂NH₂, -SO₂NH(C₁-C₆ alkyl), -SO₂N(C₁-C₆ alkyl)(C₁-C₆
alkyl), or piperazinyl, wherein the piperazinyl group is
optionally substituted with 1 or 2 groups that are
independently C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, hydroxy
30 C₁-C₄ alkyl, C₁-C₄ dihydroxyalkyl, or halogen;

X_d is hydrogen;

X_e is H, methyl, NH₂, NH(C₁-C₆ alkyl) or N(C₁-C₆ alkyl)(C₁-C₆
alkyl).

53. A compound according to claim 38, wherein
 X_1 , X_2 , X_a , X_b , X_c , X_d , and X_e are independently selected from H,
 OH, halogen, CF_3 , alkyl, OCF_3 , pyridyl, pyridazinyl,
 5 pyrimidyl, pyrazinyl, thienyl, furyl, pyrrolyl,
 piperidinyl, piperazinyl, or C_3 - C_7 cycloalkyl, wherein
 each of the above is optionally substituted with $-NR_6R_7$,
 $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$,
 C_1-C_6 alkyl, C_1-C_6 alkoxy, or halogen.
54. A compound according to claim 37, wherein
 R_5 is a heteroaryl or heteroarylalkyl group, where each
 heteroaryl is pyrazolyl, imidazolyl, furanyl, pyridyl,
 pyridazinyl, pyrimidinyl, pyrazinyl, pyrazolyl,
 15 imidazolyl, dihydroindolyl, dihydroisoindolyl, indolon-2-
 yl, quinolinyl, isoquinolinyl, tetrahydroisoquinolinyl,
 dihydroisoquinolinyl, or indolyl, each of which is
 optionally substituted with 1, 2, 3, or 4 groups that are
 independently $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $-NR_6R_7$,
 20 hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, hydrogen,
 hydroxy, halogen, haloalkyl, alkyl, haloalkoxy, $R_6R_7N-(C_1-$
 $C_6 \text{ alkyl})-$, $-CO_2-(C_1-C_6)$ alkyl, $-N(R)C(O)NR_6R_7$, or
 $-N(R)C(O)-(C_1-C_6)$ alkoxy; wherein
 R_6 and R_7 are independently at each occurrence H, C_1-C_6
 25 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6
 alkoxycarbonyl, OH, C_1-C_6 hydroxyalkyl, C_1-C_6
 dihydroxyalkyl, C_1-C_6 thiohydroxyalkyl, $-(C_1-C_4)$ alkyl-
 CO_2 -alkyl, pyridyl C_1-C_6 alkyl, C_1-C_6 alkanoyl,
 benzyl, phenyl C_1-C_6 alkoxy, or phenyl C_1-C_6 alkanoyl,
 30 wherein each of the above is unsubstituted or
 substituted with 1, 2, or 3 groups that are
 independently, halogen, C_3-C_6 cycloalkyl, C_1-C_6
 alkoxy, piperidinyl C_1-C_6 alkyl, morpholinyl C_1-C_6

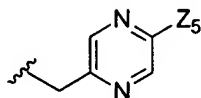
alkyl, piperazinyl C₁-C₆ alkyl, OH, SH, NH₂,
 NH(alkyl), N(alkyl)(alkyl), -O-C₁-C₄ alkanoyl, C₁-C₄
 alkyl, CF₃, or OCF.

5 55. A compound according to claim 54, wherein
 Y₂, Y₄, and Y are independently halogen; and
 Y₁ and Y₃ are both hydrogen.

56. A compound according to claim 55, wherein
 10 X₁ and X₂ are independently H, methyl, -NR₆R₇, R₆R₇N-(C₁-C₆
 alkyl)-, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, C₁-C₆
 hydroxyalkyl, C₁-C₆ dihydroxyalkyl, or -(C₁-C₄
 alkyl)-morpholinyl.

15 57. A compound according to claim 56, wherein
 R₅ is pyridyl C₁-C₆ alkyl, pyrimidinyl C₁-C₆ alkyl, or pyrazinyl
 C₁-C₆ alkyl, each of which is optionally substituted with
 1, 2, or 3 groups that are independently hydroxy(C₁-
 C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-
 20 C₄)alkyl, OCF₃, -NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, R₆R₇N-(C₁-
 C₆ alkyl)-, or -C(O)NR₆R₇.

58. A compound according to claim 57, wherein
 R₅ is of the formula:



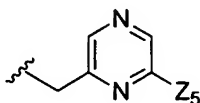
25

wherein

Z₅ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen,
 CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-
 C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein
 30 R₆ and R₇ at each occurrence are independently H, C₁-C₆
 alkyl optionally substituted with 1, 2, or 3 groups

that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

59. A compound according to claim 57, wherein
 5 R₅ is of the formula:

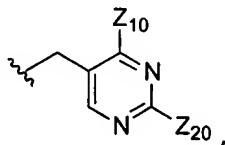


wherein

- Z₅ is hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, -(C₁-
 10 C₄ alkyl)-C(O)NR₆R₇, or -C(O)NR₆R₇, wherein
 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

15

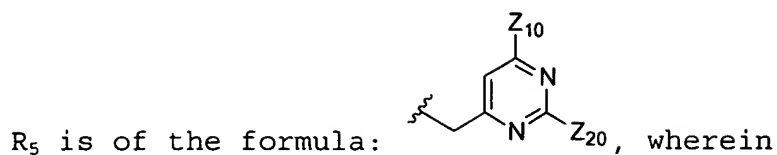
60. A compound according to claim 57, wherein



R₅ is of the formula:

- Z₁₀ is H or methyl; and
 Z₂₀ is -(C₁-C₄ alkyl)-C(O)NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃,
 20 -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -C(O)NR₆R₇, wherein
 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxy carbonyl, halogen,
 25 C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

61. A compound according to claim 57, wherein

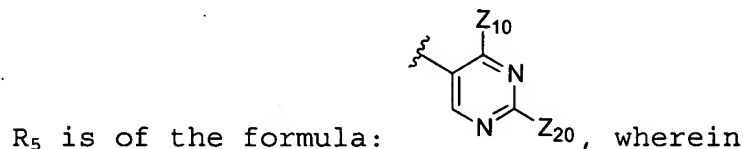


Z_{10} is H or methyl; and

Z_{20} is $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, hydroxy (C_1-C_4) alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4) alkyl, OCF_3 ,
 5 $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein

R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkoxy carbonyl, halogen, C_3-C_6 cycloalkyl, OH, SH, or C_1-C_4 alkoxy.

10 62. A compound according to claim 57, wherein

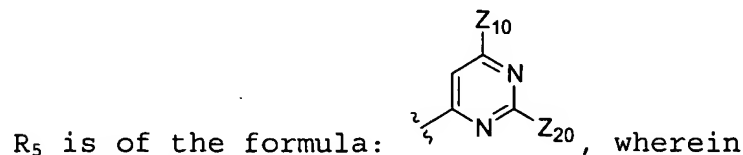


Z_{10} is H or methyl; and

Z_{20} is $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, hydroxy (C_1-C_4) alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4) alkyl, OCF_3 ,
 15 $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein

R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkoxy carbonyl, halogen,
 20 C_3-C_6 cycloalkyl, OH, SH, or C_1-C_4 alkoxy.

63. A compound according to claim 57, wherein

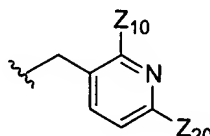


Z_{10} is H or methyl; and

Z_{20} is $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4) alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein

R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkoxy carbonyl, halogen, C_3-C_6 cycloalkyl, OH, SH, or C_1-C_4 alkoxy.

64. A compound according to claim 57, wherein



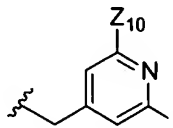
R_5 is of the formula: Z_{20} , wherein

Z_{10} is H or methyl; and

Z_{20} is $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4) alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein

R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkoxy carbonyl, halogen, C_3-C_6 cycloalkyl, OH, SH, or C_1-C_4 alkoxy.

65. A compound according to claim 57, wherein



R_5 is of the formula: Z_{20} , wherein

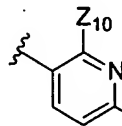
Z_{10} is H or methyl; and

Z_{20} is $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, hydroxy(C_1-C_4)alkyl, C_1-C_4 dihydroxyalkyl, OH, halogen, CF_3 , (C_1-C_4) alkyl, OCF_3 , $-NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-C(O)NR_6R_7$, wherein

R_6 and R_7 at each occurrence are independently H, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups

that are independently C₁-C₄ alkoxycarbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

66. A compound according to claim 57, wherein



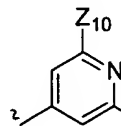
5 R₅ is of the formula: Z₁₀, wherein

Z₁₀ is H or methyl; and

Z₂₀ is -(C₁-C₄ alkyl)-C(O)NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -C(O)NR₆R₇, wherein

10 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxycarbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

15 67. A compound according to claim 57, wherein



 R₅ is of the formula: Z₁₀, wherein

Z₁₀ is H or methyl; and

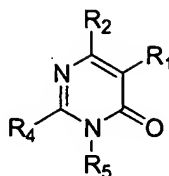
Z₂₀ is -(C₁-C₄ alkyl)-C(O)NR₆R₇, hydroxy(C₁-C₄)alkyl, C₁-C₄ dihydroxyalkyl, OH, halogen, CF₃, (C₁-C₄)alkyl, OCF₃, -NR₆R₇, R₆R₇N-(C₁-C₆ alkyl)-, or -C(O)NR₆R₇, wherein

20 R₆ and R₇ at each occurrence are independently H, C₁-C₆ alkyl optionally substituted with 1, 2, or 3 groups that are independently C₁-C₄ alkoxycarbonyl, halogen, C₃-C₆ cycloalkyl, OH, SH, or C₁-C₄ alkoxy.

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68. A method of treating a TNF mediated disorder, a p38 kinase mediated disorder, inflammation and/or arthritis in a subject, the method comprising treating a subject having or

susceptible to such disorder or condition with a compound of the formula:



or a pharmaceutically acceptable salt thereof, wherein

- 5 R_1 is H, halogen, NO_2 , alkyl, carboxaldehyde, hydroxyalkyl, dihydroxyalkyl, arylalkoxy, arylalkyl, alkenyl, alkynyl, arylalkynyl, $-\text{CN}$, aryl, alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, haloalkoxy, carboxyl, or arylalkanoyl, wherein the aryl portion of arylalkoxy, arylalkyl, and
- 10 arylalkanoyl is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, $\text{C}_1\text{-C}_4$ alkyl, $\text{C}_1\text{-C}_4$ alkoxy, nitro, CN , haloalkyl, haloalkoxy or CO_2R ;
- wherein the alkyl portion of the alkyl, hydroxyalkyl,
- 15 dihydroxyalkyl, arylalkoxy, arylalkyl, alkanoyl, alkoxy, alkoxyalkyl and arylalkanoyl groups is unsubstituted or substituted with 1, 2, or 3 groups that are independently halogen, $\text{C}_1\text{-C}_4$ alkoxy, $\text{C}_1\text{-C}_4$ alkoxy carbonyl, or $\text{C}_3\text{-C}_7$ cycloalkyl;
- 20 R_2 is H, OH, halogen, $-\text{OSO}_2\text{-(C}_1\text{-C}_6\text{) alkyl}$, $-\text{OSO}_2\text{-aryl}$, arylalkoxy, aryloxy, arylthio, arylthioalkoxy, arylalkynyl, alkoxy, aryloxy($\text{C}_1\text{-C}_6\text{)alkyl}$, alkyl, alkynyl, $-\text{OC(O)NH(CH}_2\text{)}_n\text{aryl}$, $-\text{OC(O)N(alkyl)(CH}_2\text{)}_n\text{aryl}$, alkoxyalkoxy, dialkylamino, alkyl, alkoxy, aryl, arylalkyl, heteroaryl,
- 25 heteroarylalkyl, arylalkenyl, heterocycloalkyl, heterocycloalkylalkyl, alkoxyalkoxy, NR_8R_9 , dialkylamino, or CO_2R , wherein n is 0, 1, 2, 3, 4, 5 or 6; each of which groups is unsubstituted or substituted with
- 30 1, 2, 3, 4, or 5 groups that are independently

halogen, $-(C_1-C_6)alkyl-N(R)-CO_2R_{30}$, haloalkyl,
 heteroaryl, heteroarylalkyl, $-NR_6R_7$, $R_6R_7N-(C_1-C_6$
 alkyl)-, $-C(O)NR_6R_7$, $-(C_1-C_4 alkyl)-C(O)NR_6R_7$, $-(C_1-C_4$
 alkyl)- $NRC(O)NR_{16}R_{17}$, haloalkoxy, alkyl, CN, alkoxy,
 5 alkoxy carbonyl, phenyl, $-SO_2$ -phenyl wherein the
 phenyl and $-SO_2$ -phenyl groups are optionally
 substituted with 1, 2, or 3 groups that are
 independently halogen or NO_2 , or $-OC(O)NR_6R_7$, wherein
 R_{16} and R_{17} are independently H or C_1-C_6 alkyl; or
 10 R_{16} , R_{17} and the nitrogen to which they are attached
 form a morpholinyl ring;
 R_6 and R_7 are independently at each occurrence H,
 alkyl, hydroxyalkyl, dihydroxyalkyl, alkoxy,
 alkanoyl, arylalkyl, arylalkoxy,
 15 alkoxy carbonyl, $-SO_2$ -alkyl, OH, alkoxy,
 alkoxyalkyl, arylalkoxy carbonyl, $-(C_1-C_4)alkyl-$
 CO_2 -alkyl, heteroarylalkyl, or arylalkanoyl,
 wherein each is unsubstituted or substituted
 with 1, 2, or 3 groups that are independently,
 20 halogen, OH, SH, heterocycloalkyl,
 heterocycloalkylalkyl, C_3-C_7 cycloalkyl, alkoxy,
 NH_2 , $NH(alkyl)$, $N(alkyl)(alkyl)$, $-O$ -alkanoyl,
 alkyl, haloalkyl, carboxaldehyde, or
 haloalkoxy; or
 25 R_6 , R_7 , and the nitrogen to which they are attached
 form a morpholinyl, pyrrolidinyl,
 thiomorpholinyl, thiomorpholinyl S-oxide,
 thiomorpholinyl S,S-dioxide, piperidinyl,
 pyrrolidinyl, or piperazinyl ring which is
 30 optionally substituted with 1 or 2 groups that
 are independently C_1-C_4 alkyl, alkoxy carbonyl,
 C_1-C_4 alkoxy, hydroxyl, hydroxyalkyl,
 dihydroxyalkyl, or halogen;

R at each occurrence is independently hydrogen or C₁-C₆ alkyl optionally substituted with optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C₃-C₆ cycloalkyl;

R₃₀ is C₁-C₆ alkyl optionally substituted with 1 or 2 groups that are independently OH, SH, halogen, amino, monoalkylamino, dialkylamino or C₃-C₆ cycloalkyl;

each R₈ is independently hydrogen, alkyl, alkanoyl, arylalkyl and arylalkanoyl, wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, alkoxy carbonyl, halogen, or haloalkyl;

each R₉ is hydrogen, alkyl, alkanoyl, arylalkyl, cycloalkyl, cycloalkylalkyl, alkenyl, heteroaryl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, arylalkanoyl, -SO₂-phenyl, and aryl wherein each of the above is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, alkoxy carbonyl, halogen, or haloalkyl;

R₄ is hydrogen or R₄ is alkyl unsubstituted or substituted with one or two groups that are independently CO₂R, -CO₂-(C₁-C₆)alkyl, -C(O)NR₆R₇, -(C₁-C₄ alkyl)-C(O)NR₆R₇, -N(R₃₀)C(O)NR₁₆R₁₇, -N(R₃₀)C(O)-(C₁-C₆)alkoxy, or -NR₆R₇, arylalkoxy, arylalkyl, heteroaryl, hydroxyalkyl, dihydroxyalkyl, haloalkyl, R₆R₇N-(C₁-C₆ alkyl)-, -NR₆R₇, alkoxy, carboxaldehyde, CO₂R, alkoxyalkyl, or alkoxyalkoxy, wherein the aryl portion of arylalkoxy and arylalkyl is unsubstituted or substituted with 1, 2, 3, 4, or 5 groups that are independently halogen, hydroxy,

alkoxy, alkyl, $-\text{CO}_2-(\text{C}_1-\text{C}_6)\text{alkyl}$, $-\text{CONR}_6\text{R}_7$, $-\text{NR}_6\text{R}_7$, $\text{R}_6\text{R}_7\text{N}-$
 $(\text{C}_1-\text{C}_6)\text{alkyl}-$, nitro, haloalkyl, or haloalkoxy; and

R_5 is H, aryl, arylalkyl, arylthioalkyl, alkyl optionally
substituted with 1, 2, or 3 groups that are independently
5 arylalkoxycarbonyl, $-\text{NR}_8\text{R}_9$, halogen, $-\text{C}(\text{O})\text{NR}_8\text{R}_9$,
alkoxycarbonyl, C_3-C_7 cycloalkyl, or alkanoyl, alkoxy,
alkoxyalkyl optionally substituted with one
trimethylsilyl group, amino, alkoxycarbonyl,
hydroxyalkyl, dihydroxyalkyl, alkynyl, $-\text{SO}_2\text{-alkyl}$, alkoxy
10 optionally substituted with one trimethylsilyl group,
heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, $-\text{alkyl-S-aryl}$,
 $-\text{alkyl-SO}_2\text{-aryl}$, heteroarylalkyl,
heterocycloalkyl, heteroaryl, or alkenyl optionally
substituted with alkoxycarbonyl, wherein

15 each of the above is unsubstituted or substituted with 1,
2, 3, 4, or 5 groups that are independently alkyl,
halogen, alkoxy, hydroxyalkyl, dihydroxyalkyl,
arylalkoxy, thioalkoxy, alkoxycarbonyl,
arylalkoxycarbonyl, CO_2R , CN, OH, hydroxyalkyl,
20 dihydroxyalkyl, amidinooxime, $-\text{NR}_6\text{R}_7$, $-\text{NR}_8\text{R}_9$, $\text{R}_6\text{R}_7\text{N}-$
 $(\text{C}_1-\text{C}_6\text{ alkyl})-$, carboxaldehyde, SO_2alkyl , $-\text{SO}_2\text{H}$, $-\text{SO}_2\text{NR}_6\text{R}_7$,
alkanoyl wherein the alkyl portion is
optionally substituted with OH, halogen or alkoxy, $-\text{C}(\text{O})\text{NR}_6\text{R}_7$,
 $-(\text{C}_1-\text{C}_4\text{ alkyl})-\text{C}(\text{O})\text{NR}_6\text{R}_7$, amidino,
25 haloalkyl, $-(\text{C}_1-\text{C}_4\text{ alkyl})-\text{NR}_{15}\text{C}(\text{O})\text{NR}_{16}\text{R}_{17}$, $-(\text{C}_1-\text{C}_4\text{ alkyl})-\text{NR}_{15}\text{C}(\text{O})\text{R}_{18}$,
 $-\text{O}-\text{CH}_2-\text{O}$, $-\text{O}-\text{CH}_2\text{CH}_2-\text{O}-$, or
haloalkoxy; wherein
 R_{15} is H or C_1-C_6 alkyl;

R_{18} is C_1-C_6 alkyl optionally substituted with $-\text{O}-$ (C_2-C_6
30 alkanoyl, C_1-C_6 hydroxyalkyl, C_1-C_6 dihydroxyalkyl,
 C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl; amino C_1-C_6
alkyl, mono or dialkylamino C_1-C_6 alkyl.

69. A compound according to claim 17, wherein Z_5 is C_1 - C_4 alkyl, C_1 - C_4 hydroxyalkyl, C_1 - C_4 dihydroxyalkyl, halogen, C_1 - C_6 alkoxy carbonyl, CF_3 , or C_1 - C_6 alkanoyl.

70. A compound according to claim 17, wherein Z_5 is C_1 - C_4 alkyl, $-C(O)NR_6R_7$, $-(C_1-C_4 \text{ alkyl})-C(O)NR_6R_7$, $R_6R_7N-(C_1-C_6 \text{ alkyl})-$, or $-NR_6R_7$, CF_3 , or C_1 - C_4 alkanoyl, wherein R_6 and R_7 at each occurrence are independently H, C_1 - C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently C_1 - C_4 alkoxy carbonyl, halogen, C_3 - C_6 cycloalkyl, OH, SH, or C_1 - C_4 alkoxy.

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